10534 ≥91

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NEWS 1   Web Page URLs for STN Seminar Schedule - N. America
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NEWS 4 DEC 14   2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 5 DEC 14   2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6 DEC 14   CA/CAplus to be enhanced with updated IPC codes
NEWS 7 DEC 21   IPC search and display fields enhanced in CA/CAplus with the
                   IPC reform
NEWS 8 DEC 23   New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
                   USPAT2
NEWS 9 JAN 13   IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13   New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
                   INPADOC
NEWS 11 JAN 17   Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17   IPC 8 in the WPI family of databases including WPIFV

NEWS EXPRESS   JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
                CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
                AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
                V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
                http://download.cas.org/express/v8.0-Discover/

NEWS HOURS   STN Operating Hours Plus Help Desk Availability
NEWS INTER   General Internet Information
NEWS LOGIN   Welcome Banner and News Items
NEWS PHONE   Direct Dial and Telecommunication Network Access to STN
NEWS WWW   CAS World Wide Web Site (general information)

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  * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:01:16 ON 23 JAN 2006

=> file registry
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                    ENTRY   SESSION
FULL ESTIMATED COST   0.21   0.21

FILE 'REGISTRY' ENTERED AT 07:01:23 ON 23 JAN 2006
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 20 JAN 2006   HIGHEST RN 872400-03-8
DICTIONARY FILE UPDATES: 20 JAN 2006   HIGHEST RN 872400-03-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

   Please note that search-term pricing does apply when
   conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from  *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10534291\10534291G3.str
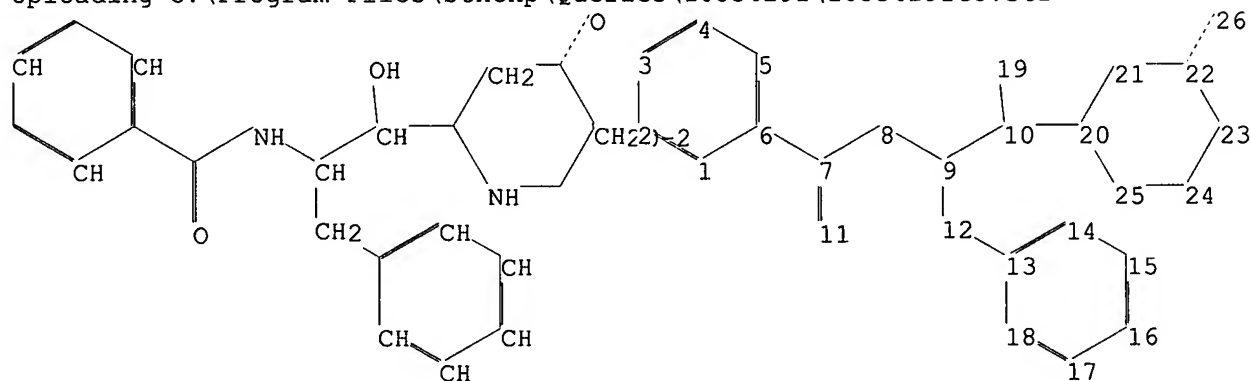
chain nodes :
7   8   9   10   11   12   19   26
ring nodes :
1   2   3   4   5   6   13   14   15   16   17   18   20   21   22   23   24   25
chain bonds :
6-7   7-8   7-11   8-9   9-10   9-12   10-19   10-20   12-13   22-26
ring bonds :
1-2   1-6   2-3   3-4   4-5   5-6   13-14   13-18   14-15   15-16   16-17   17-18   20-21
20-25   21-22   22-23   23-24   24-25
exact/norm bonds :
7-8   7-11   8-9   10-19   20-21   20-25   21-22   22-23   22-26   23-24   24-25

exact bonds :
6-7 9-10 9-12 10-20 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS
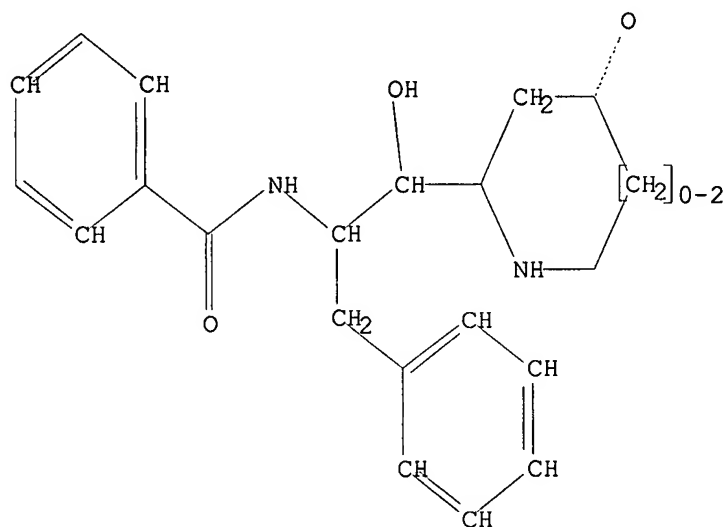 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS

L1   STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1   STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 07:01:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   10 TO ITERATE

100.0% PROCESSED   10 ITERATIONS   3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 11 TO   389
PROJECTED ANSWERS: 3 TO   163

L2   3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:02:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   132 TO ITERATE

100.0% PROCESSED   132 ITERATIONS   15 ANSWERS
SEARCH TIME: 00.00.01

L3   15 SEA SSS FUL L1

=> file caplus

=> s l3
L4   1 L3

=> d ibib abs hitstr tot

ACCESSION NUMBER: 2004:428903 CAPLUS
DOCUMENT NUMBER: 141:6920
TITLE: Preparation of phenylcarboxamide derivatives as
                         β-secretase inhibitors for the treatment of
                         Alzheimer's disease
INVENTOR(S):   Coburn, Craig A.; Stachel, Shawn J.; Vacca, Joseph P.
PATENT ASSIGNEE(S):   Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043916	A1	20040527	WO 2003-US35316	20031106

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
    CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
    GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
    LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
    OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
    TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, BW, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
    BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
    ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
    TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG

| CA 2505098 | AA | 20040527 | CA 2003-2505098 | 20031106 |
| EP 1562897 | A1 | 20050817 | EP 2003-768700 | 20031106 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.:   US 2002-425555P   P 20021112

                                               US 2002-425560P   P 20021112

                                               WO 2003-US35316   W 20031106

OTHER SOURCE(S):   MARPAT 141:6920
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

---Logging off of STN---

END

AB   The title compds. I [R2 = R4-S(O)m-NR5-, R4-S(O)m-, R4NHCO-, R4CONH-,
     R4R5N-, CN, halo, etc.; R4, R5 = H, C1-C6alkyl, Ph or benzyl; R6a, R6b,
     R6c = H, halo, -OR5, -SR5 or C1-C6alkyl; X1 = H; X2 = OH, or X1, X2 =
oxo;
     Z = CO, CH-OH, CH-F, or ethylene ketal; n = 1-4; m = 0-2] were prepared
as
     β-secretase inhibitors for the treatment or prevention of diseases,
     such as Alzheimer's disease. For example, compound II was prepared from
di-Me

     (Uses)
        (prepn. of phenylcarboxamide derivs. as β-secretase inhibitors for
        the treatment of Alzheimer's disease)
RN   695215-61-3 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-
     piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N'-
     [(1R)-1-phenylethyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX
     NAME)

     CM   1

     CRN 695215-60-2
     CMF C32 H38 N4 O6 S

Absolute stereochemistry.

     CM   2
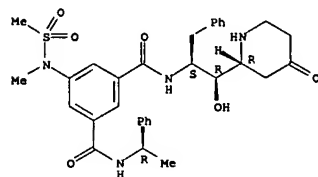
     CRN 76-05-1
     CMF C2 H F3 O2

RN   695215-63-5 CAPLUS
CN   Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-
     (phenylmethyl)ethyl]-3-[(2-methylcyclopropyl)methoxy]-5-
     [methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA
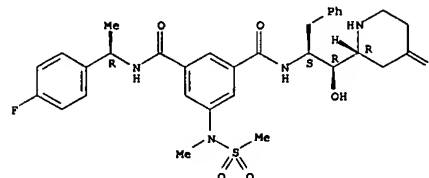   INDEX NAME)

     CM   1

     CRN 695215-62-4
   CMF C28 H37 N3 O6 S

Absolute stereochemistry.

     5-aminoisophthalate in a multi-step synthesis. The compds. of the
     invention exhibited inhibiting activity against β-secretase with an
     IC50 from about 1nM to 1 μM.
IT   695215-64-6P 695215-65-7P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of phenylcarboxamide derivs. as β-secretase inhibitors
for
        the treatment of Alzheimer's disease)
RN   695215-64-6 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-[(1S,2R)-2-
     hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-
     [methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN   695215-65-7 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[(1R)-1-(4-fluorophenyl)ethyl]-N'-[(1S,2R)-2-
     hydroxy-2-[(2R)-4-hydroxy-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-
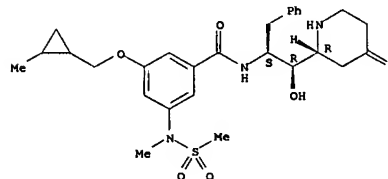   [methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
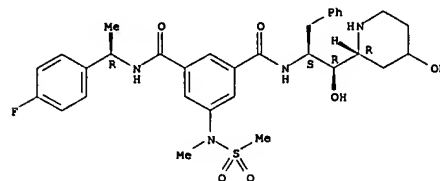
IT   695215-61-3P 695215-63-5P 695215-70-4P
     695215-71-5P 695215-80-6P 695215-81-7P
     695215-83-9P 695215-88-4P 695215-90-8P
     695215-91-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

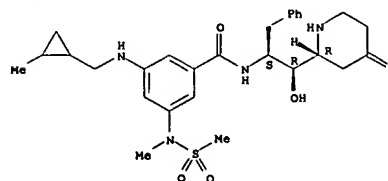   CM   2

     CRN 76-05-1
     CMF C2 H F3 O2

RN   695215-70-4 CAPLUS
CN   Benzamide, N-[(1S,2R)-2-hydroxy-2-[(2R)-4-oxo-2-piperidinyl]-1-
     (phenylmethyl)ethyl]-3-[[(2-methylcyclopropyl)methyl]amino]-5-
     [methyl(methylsulfonyl)amino]-, mono(trifluoroacetate) (salt) (9CI) (CA
     INDEX NAME)

     CM   1

     CRN 695215-69-1
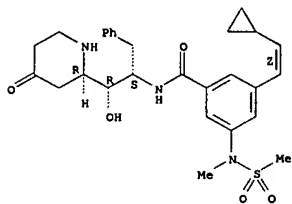   CMF C28 H38 N4 O5 S

Absolute stereochemistry.
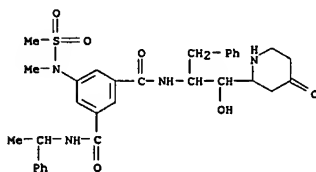

     CM   2

CRN   76-05-1
CMF   C2 H F3 O2

RN   695215-71-5 CAPLUS
CN   Benzamide, 3-[(1Z)-2-cyclopropylethenyl]-N-[(1S,2R)-2-hydroxy-2-[(2R)-4-

oxo-2-piperidinyl]-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
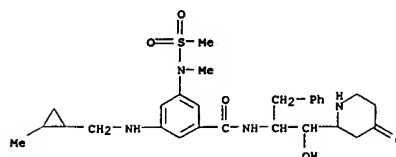   (9CI) (CA INDEX NAME)

Absolute stereochemistry.
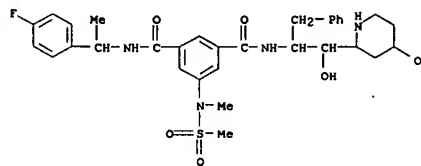Double bond geometry as shown.

RN   695215-80-6 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-
      (phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-N'-(1-phenylethyl)-
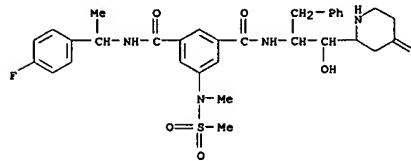   (9CI) (CA INDEX NAME)

RN   695215-81-7 CAPLUS
CN   Benzamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-3-
      [[(2-methylcyclopropyl)methyl]amino]-5-[methyl(methylsulfonyl)amino]-
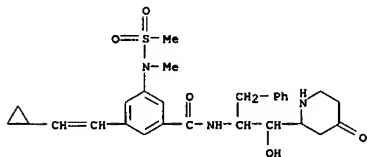
RN   695215-83-9 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-[2-hydroxy-2-(4-
      hydroxy-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-
      [methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)


RN   695215-88-4 CAPLUS
CN   1,3-Benzenedicarboxamide, N-[1-(4-fluorophenyl)ethyl]-N'-[2-hydroxy-2-(4-

oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
      (9CI) (CA INDEX NAME)

RN   695215-90-8 CAPLUS
CN   Benzamide,
      3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-
      (phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX

RN   695215-91-9 CAPLUS
CN   Benzamide, 3-(2-cyclopropylethenyl)-N-[2-hydroxy-2-(4-hydroxy-2-
      piperidinyl)-1-(phenylmethyl)ethyl]-5-[methyl(methylsulfonyl)amino]-
(9CI)
       (CA INDEX NAME)
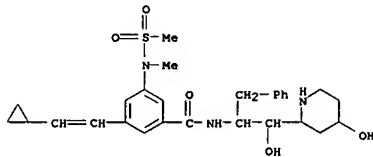
REFERENCE COUNT: 4   THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

10/534,291 EAST search Class 546/242; 514/335

US 5506243 A	USPAT 19960409	5	Sulfonamide derivatives	514/345514/231.5; 514/238.2; 514/245; 514/247;

514/249;
514/252.1; 514/266.3; 514/269; 514/299; 514/308; 514/312; 514/335; 514/366; 514/369; 514/372; 514/376; 514/380; 514/381; 514/384; 514/398;
514/407; 514/424; 514/443; 514/445; 514/452; 514/456; 514/460; 514/463; 514/470; 514/473; 514/604; 544/106; 544/228; 544/239; 544/253;
544/257; 544/265; 544/298; 544/315; 544/316; 544/319; 544/384; 544/408; 544/59; 546/138; 546/153; 546/242; 546/243; 546/293; 548/183;
548/213; 548/228; 548/251; 548/263.2; 548/307.1; 548/361.5; 548/470; 548/482; 548/484; 548/486; 549/14; 549/399; 549/416; 549/430;
549/466; 549/474